



IFW

PATENT

**IN THE UNITED STATES PATENT AND TRADEMARK OFFICE**

Applicants: Isler et al.

Examiner: Unassigned

Application No.: 10/500,604

Group Art Unit: 1614

Filed: June 30, 2004

Docket: 753-39 PCT/US

Confirmation No: 4744

Dated: April 15, 2005

For: PYRROLIDONE CARBOXAMIDES

I hereby certify this correspondence is being deposited with United States Postal Service as first class mail, postpaid in an envelope, addressed to: Commissioner for Patents, P.O. Box 1450, Alexandria, VA 22313-1450

Date: April 15, 2005

Signature: K.J. Goodhand/ *K.J. Goodhand*

Commissioner for Patents  
P.O. Box 1450  
Alexandria, VA 22313-1450

**REQUEST FOR CORRECTION OF APPLICATION**  
**FILING RECEIPT**

Sir:

In reviewing the Filing Receipt for the above-identified application, it has come to our attention that one of the inventor's names has not been correctly listed on the Filing Receipt. In particular, the name is listed as "Gunter Schwaim". The correct name should read --"Gunter Schwalm"--. A copy of the Declaration of Inventorship, as well as the International Application cover page, are enclosed, which documents show the correct spelling of the inventor's name.

Additionally, the foreign priority information listed on the Filing Receipt is incomplete. The Foreign Applications priority also should include PCT/CH02/00429, filed on August 5,

Applicant: Isler et al.  
Application No: 10/500,604  
Docket No: 753-39 PCT/US  
Page 2

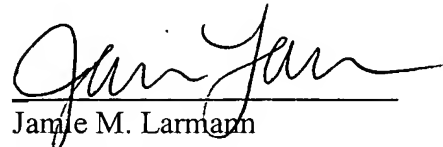
2002. A copy of the International Application cover page, as well as the first two pages of the Preliminary Amendment filed on June 30, 2004 are enclosed, which documents show the correct priority information.

A copy of the Filing Receipt including the changes thereon is enclosed.

In view of the above, correction of the Filing Receipt is respectfully requested. Applicants submit that no fees are required to make this correction. However, if any fees are required, please charge to Deposit Account No. 08-2461.

If there are any questions with respect to this matter, please direct them to the undersigned attorney.

Respectfully submitted,



Jamie M. Larmann  
Reg. No. 48,623  
Attorney for Applicants

HOFFMANN & BARON, LLP  
6900 Jericho Turnpike  
Syosset, New York 11791  
(973) 331-1700

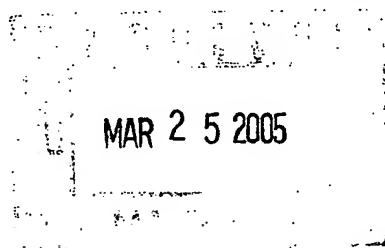


## UNITED STATES PATENT AND TRADEMARK OFFICE

UNITED STATES DEPARTMENT OF COMMERCE  
 United States Patent and Trademark Office  
 Address: COMMISSIONER FOR PATENTS  
 P.O. Box 1450  
 Alexandria, Virginia 22313-1450  
 www.uspto.gov

APPL NO.	FILING OR 371 (c) DATE	ART UNIT	FIL FEE REC'D	ATTY. DOCKET NO	DRAWINGS	TOT CLMS	IND CLMS
10/500,604	06/30/2004	1614	920	753-39 PCT/US		19	1

23869  
 HOFFMANN & BARON, LLP  
 6900 JERICHO TURNPIKE  
 SYOSSET, NY 11791



CONFIRMATION NO. 4744

## FILING RECEIPT



\*OC000000015302868\*

Date Mailed: 03/21/2005

Receipt is acknowledged of this regular Patent Application. It will be considered in its order and you will be notified as to the results of the examination. Be sure to provide the U.S. APPLICATION NUMBER, FILING DATE, NAME OF APPLICANT, and TITLE OF INVENTION when inquiring about this application. Fees transmitted by check or draft are subject to collection. Please verify the accuracy of the data presented on this receipt. If an error is noted on this Filing Receipt, please write to the Office of Initial Patent Examination's Filing Receipt Corrections, facsimile number 703-746-9195. Please provide a copy of this Filing Receipt with the changes noted thereon. If you received a "Notice to File Missing Parts" for this application, please submit any corrections to this Filing Receipt with your reply to the Notice. When the USPTO processes the reply to the Notice, the USPTO will generate another Filing Receipt incorporating the requested corrections (if appropriate).

## Applicant(s)

Markus Isler, Allschwil, SWITZERLAND;  
 Thomas Giller, Wintersingen, SWITZERLAND;  
 Gunter Schwaim, Binningen, SWITZERLAND; *Schwalm*  
 Matthias Steger, Zurich, SWITZERLAND;  
 Kurt Hilpert, Hofstetten, SWITZERLAND;  
 Oliver Valdenaire, Allschwil, SWITZERLAND;  
 Volker Breu, Schliengen, GERMANY;

Power of Attorney: The patent practitioners associated with Customer Number 23869.

## Domestic Priority data as claimed by applicant

This application is a 371 of PCT/CH02/00725 12/27/2002 AND

*PCT/CH02/00429 02/05/2002*

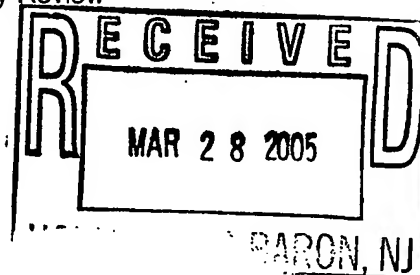
## Foreign Applications

SWITZERLAND 2381/01 12/31/2001

Projected Publication Date: To Be Determined - pending completion of Security Review

Non-Publication Request: No

Early Publication Request: No



**Title**

Pyrrolidone carboxamides

**Preliminary Class**

514

---

**LICENSE FOR FOREIGN FILING UNDER  
Title 35, United States Code, Section 184  
Title 37, Code of Federal Regulations, 5.11 & 5.15**

**GRANTED**

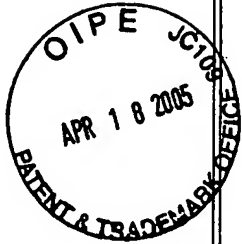
The applicant has been granted a license under 35 U.S.C. 184, if the phrase "IF REQUIRED, FOREIGN FILING LICENSE GRANTED" followed by a date appears on this form. Such licenses are issued in all applications where the conditions for issuance of a license have been met, regardless of whether or not a license may be required as set forth in 37 CFR 5.15. The scope and limitations of this license are set forth in 37 CFR 5.15(a) unless an earlier license has been issued under 37 CFR 5.15(b). The license is subject to revocation upon written notification. The date indicated is the effective date of the license, unless an earlier license of similar scope has been granted under 37 CFR 5.13 or 5.14.

This license is to be retained by the licensee and may be used at any time on or after the effective date thereof unless it is revoked. This license is automatically transferred to any related applications(s) filed under 37 CFR 1.53(d). This license is not retroactive.

The grant of a license does not in any way lessen the responsibility of a licensee for the security of the subject matter as imposed by any Government contract or the provisions of existing laws relating to espionage and the national security or the export of technical data. Licensees should apprise themselves of current regulations especially with respect to certain countries, of other agencies, particularly the Office of Defense Trade Controls, Department of State (with respect to Arms, Munitions and Implements of War (22 CFR 121-128)); the Office of Export Administration, Department of Commerce (15 CFR 370.10 (j)); the Office of Foreign Assets Control, Department of Treasury (31 CFR Parts 500+) and the Department of Energy.

**NOT GRANTED**

No license under 35 U.S.C. 184 has been granted at this time, if the phrase "IF REQUIRED, FOREIGN FILING LICENSE GRANTED" DOES NOT appear on this form. Applicant may still petition for a license under 37 CFR 5.12, if a license is desired before the expiration of 6 months from the filing date of the application. If 6 months has lapsed from the filing date of this application and the licensee has not received any indication of a secrecy order under 35 U.S.C. 181, the licensee may foreign file the application pursuant to 37 CFR 5.15(b).



PATENT

**IN THE UNITED STATES PATENT AND TRADEMARK OFFICE**

Applicants: Isler et al.

Examiner: Unassigned

Application No.: Unassigned

Group Art Unit: Unassigned

Filed: Herewith

Docket: 753-39 PCT/US

For: PYRROLIDONE  
CARBOXAMIDES

Dated: June 30, 2004

Confirmation No.: Unassigned

Date: June 30, 2004 Label No. EV481312333US

I hereby certify that on the date indicated above I deposited this paper or fee with the U.S. Postal Service and that it was addressed for delivery to the Commissioner for Patents, P.O. Box 1450, Alexandria, VA 22313-1450 by "EXPRESS MAIL POST OFFICE to ADDRESSEE" service.

Barbara Thomas  
Name (Print)

(Signature)

Mail Stop PCT  
Commissioner for Patents  
P.O. Box 1450  
Alexandria, VA 22313-1450

**PRELIMINARY AMENDMENT ACCOMPANYING  
NATIONAL PHASE FILING UNDER 35 U.S.C. §371**

Sir:

Please amend the above-identified application as follows:

Amendments to the Specification begin on page 2 of this submission.

Amendments to the Claims begin on page 4 of this submission.

Remarks begin on page 13 of this submission.

Applicant: Isler et al.  
Application No.: Unassigned  
Filing Date: Herewith  
Docket No.: 753-39 PCT/US  
Page 2

**A. Amendments to the Specification:**

Please delete the paragraph appearing at page 2, lines 20-26, beginning with "WO 01/07409 A1 relates to carbazole derivatives ..."

Please add the following immediately after the title of the invention:

**CROSS-REFERENCE TO RELATED APPLICATIONS:**

This application is the National Stage of International Application No. PCT/CH02/00725, filed December 27, 2002, which claims the benefit of Switzerland Application No. 2381/01, filed December 31, 2001 and PCT/CH02/00429, filed August 5, 2002, the contents of which are incorporated by reference herein.

Please add the following new paragraph immediately prior to page 1, line 3, and after the Cross Reference to Related Applications, as follows:

**FIELD OF THE INVENTION:**

Please add the following new paragraph immediately prior to page 1, line 6, as follows:

**BACKGROUND OF THE INVENTION:**

WO 01/07409 A1 relates to carbazole derivatives whose general formula partially overlaps with the below formula I but does not specifically describe a single compound covered by the below formula I and, furthermore, does not contain any sufficiently concrete general pointers in the direction of compounds of the below formula I.

Please add the following new paragraph immediately prior to page 1, line 6, and after the Background of the Invention, as follows:

**SUMMARY OF THE INVENTION:**



PATENT

Attorney's Docket No. 753-39 PCT/US**COMBINED DECLARATION AND POWER OF ATTORNEY**(ORIGINAL, DESIGN, NATIONAL STAGE OF PCT, SUPPLEMENTAL,  
DIVISIONAL, CONTINUATION OR CIP)

As a below named inventor, I hereby declare that:

**TYPE OF DECLARATION**

This declaration is of the following type: (check one)

- |                                       |  |
|---------------------------------------|--|
| <input type="checkbox"/> Original     | <input checked="" type="checkbox"/> National Stage PCT |
| <input type="checkbox"/> Supplemental | <input type="checkbox"/> Divisional                    |
| <input type="checkbox"/> Design       | <input type="checkbox"/> Continuation                  |
|                                       | <input type="checkbox"/> Continuation-in-Part (CIP)    |

**INVENTORSHIP IDENTIFICATION**

**NOTE:** If the inventors are each not the inventors of all the claims an explanation of the facts, including the ownership of all the claims at the time the last claimed invention was made, should be submitted.

My residence, post office address and citizenship are as stated below next to my name.

I believe I am the original, first and sole inventor (if only one name is listed below) or an original, first and joint inventor (if plural names are listed below) of the subject matter which is claimed and for which a patent is sought on the invention entitled:

PYRROLIDONE CARBOXAMIDES

the specification of which: (complete (a), (b) or (c))

- (a) ☐ is attached hereto.
- (b) ☐ was filed on \_\_\_\_\_ as  
☐ Serial No. \_\_\_\_\_ or  
☐ Express Mail No. \_\_\_\_\_, as Serial No. not yet known  
and was amended on \_\_\_\_\_. (if applicable)
- (c) ☒ was described and claimed in PCT International Application No. PCT/CH02/00725  
filed on December 27, 2002 and as amended under PCT Article 19 on \_\_\_\_\_, (if any)

**ACKNOWLEDGMENT OF REVIEW OF PAPERS AND DUTY OF CANDOR**

I hereby state that I have reviewed and understand the contents of the above-identified specification, including the claims, as amended by any amendment referred to above, and that the filing of said specification, if heretofore filed, was authorized by me.

I acknowledge the duty to disclose information which is material to the patentability of this application in accordance with Title 37, Code of Federal Regulations, §1.56(a).

**CLAIM OF PRIORITY OF EARLIER FOREIGN APPLICATION(S) UNDER 35 U.S.C. §119(a)-(d)**

I hereby claim foreign priority benefits under Title 35, United States Code, §119 of any foreign application(s) for patent or inventor's certificate or of any PCT international application(s) designating at least one country other than the United States of America listed below and have also identified below any foreign application(s) for patent or inventor's certificate or any PCT international application(s) designating at least one country other than the United States of America filed by me on the same subject matter having a filing date before that of the application(s) of which priority is claimed:

*(List prior foreign/PCT application(s) filed within 12 months (6 months for design) prior to this U.S. application.)*

**NOTE:** Where item (c) is entered above and the International Application which designated the U.S. claimed priority check item (e), enter the details below and make the priority claim.

COUNTRY (orPCT)	APPLICATION NO.	DATE OF FILING (Day/Month/Year)	PRIORITY CLAIMED UNDER 35 USC §119
			<input type="checkbox"/> YES <input type="checkbox"/> NO
			<input type="checkbox"/> YES <input type="checkbox"/> NO

**CLAIM FOR BENEFIT OF PRIOR U.S. PROVISIONAL APPLICATION(S) UNDER 35 U.S.C. §119(e)**

I hereby claim the benefit under Title 35, United States Code, §119(e) of any United States provisional application(s) listed below:

*(List prior U.S. provisional applications.)*

PROVISIONAL APPLICATION NO.	FILING DATE (Day/Month/Year)

**CLAIM FOR BENEFIT OF EARLIER U.S./PCT APPLICATION(S) UNDER 35 U.S.C. 120**

I hereby claim the benefit under Title 35, United States Code, §120 of any United States application(s) or PCT international application(s) designating the United States of America that is/are listed below and, insofar as the subject matter of each of the claims of this application is not disclosed in such prior application(s) in the manner provided by the first paragraph of Title 35, United States Code, §112, I acknowledge the duty to disclose material information as defined in Title 37, Code of Federal Regulations, §1.56(a) which occurred between the filing date of the prior application(s) and the national or PCT international filing date of this application:



(List prior U.S. applications or PCT international applications designating the U.S. for benefit under 35 U.S.C. §120.)

U.S. APPLICATIONS		STATUS (Check One)		
U.S. SERIAL NO.	U.S. FILING DATE (Day/Month/Year)	Patented	Pending	Abandoned
0 /		<input type="checkbox"/>	<input type="checkbox"/>	<input type="checkbox"/>
0 /		<input type="checkbox"/>	<input type="checkbox"/>	<input type="checkbox"/>

PCT APPLICATIONS DESIGNATING THE U.S.			STATUS (Check One)		
PCT APPLN. NO.	PCT FILING DATE (Day/Month/Year)	U.S. SERIAL NOS ASSIGNED (if any)	Patented	Pending	Abandoned
PCT/ CH02/00725	27 December 2002		<input type="checkbox"/>	<input checked="" type="checkbox"/>	<input type="checkbox"/>
PCT/			<input type="checkbox"/>	<input type="checkbox"/>	<input type="checkbox"/>

35 USC 119 PRIORITY CLAIM, IF ANY, FOR ABOVE LISTED U.S./PCT APPLICATIONS

PRIORITY APPLICATION NO.	PRIORITY COUNTRY	FILING DATE (Day/Month/Year)	ISSUE DATE (Day/Month/Year)
2381/01	Switzerland	31 December 2001	
PCT/CH02/00429	Switzerland	5 August 2002	

POWER OF ATTORNEY

As a named inventor, I hereby appoint the attorney(s) and/or agent(s) at Customer Number 23869 to prosecute this application and transact all business in the Patent and Trademark Office in connection therewith.

## PLEASE SEND CORRESPONDENCE TO:

Daniel A. Scola, Jr.  
HOFFMANN & BARON, LLP  
6900 Jericho Turnpike  
Syosset, NY 11791

## PLEASE DIRECT TELEPHONE CALLS TO:


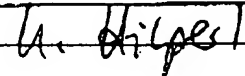
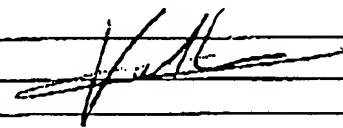

Jamie M. Larmann  
(973) 331-1700

## DECLARATION

I hereby declare that all statements made herein of my own knowledge are true and that all statements made on information and belief are believed to be true; and further, that these statements were made with the knowledge that willful false statements and the like so made are punishable by fine or imprisonment, or both, under Section 1001 of Title 18 of the United States Code, and that such willful false statements may jeopardize the validity of the application or any patent issued thereon.

## SIGNATURE(S)

Full Name of Sole or First Inventor:	Markus Isler
Country of Citizenship:	Switzerland
Residence Address:	In den Dörrenmatten 2, CH-4123 Alschwil, Switzerland
Post Office Address:	Same as above
Date: <u>28.06.2004</u>	Inventor's signature <u>[Signature]</u>
Full Name of Second Joint Inventor:	Thomas Giller
Country of Citizenship:	Switzerland
Residence Address:	Hauptstr. 236, CH-4451 Wintersingen, Switzerland
Post Office Address:	Same as above
Date: <u>29.06.2004</u>	Inventor's signature <u>[Signature]</u>
Full Name of Third Joint Inventor:	Günter Schwalm
Country of Citizenship:	Germany
Residence Address:	Schafmattweg 34, CH-4102 Binningen, Switzerland
Post Office Address:	Same as above
Date: <u>30/06/2004</u>	Inventor's signature <u>[Signature]</u>

Full Name of Fourth Joint Inventor:	Matthias Steger
Country of Citizenship:	Switzerland
Residence Address:	Engweg 3, CH-8008 Zürich, Switzerland
Post Office Address:	Same as above
Date:	28.6. '04
Inventor's signature	
Full Name of Fifth Joint Inventor:	Kurt Hilpert
Country of Citizenship:	Switzerland
Residence Address:	Eichenstr. 5, CH-4114 Hofstetten, Switzerland
Post Office Address:	Same as above
Date:	June 28, 2004
Inventor's signature	
Full Name of Sixth Joint Inventor:	Oliver Valdenaire
Country of Citizenship:	France
Residence Address:	Ochsengasse 18, CH-4123 Allschwil, Switzerland
Post Office Address:	Same as above
Date:	
Inventor's signature	
Full Name of Seventh Joint Inventor:	Volker Breu
Country of Citizenship:	Germany
Residence Address:	Leonhard-Müller-Str. 9A, DE-79418 Schliengen, Germany
Post Office Address:	Same as above
Date:	28.6.2004
Inventor's signature	

NOTE: All above spaces identifying inventors must be completed or deleted before any inventor executes this application

(12) NACH DEM VERTRAG ÜBER DIE INTERNATIONALE ZUSAMMENARBEIT AUF DEM GEBIET DES  
PATENTWESENS (PCT) VERÖFFENTLICHTE INTERNATIONALE ANMELDUNG

(19) Weltorganisation für geistiges Eigentum  
Internationales Büro



(43) Internationales Veröffentlichungsdatum  
24. Juli 2003 (24.07.2003)

PCT

(10) Internationale Veröffentlichungsnummer  
WO 03/059905 A1

(51) Internationale Patentklassifikation<sup>7</sup>: C07D 401/14,  
401/12, 409/14, 405/14, 207/26, 403/12, C07C 211/54,  
211/56, A61K 31/4015, 31/403, 31/4025, A61P 3/04, 3/10

(21) Internationales Aktenzeichen: PCT/CH02/00725

(22) Internationales Anmeldedatum:  
27. Dezember 2002 (27.12.2002)

(25) Einreichungssprache: Deutsch

(26) Veröffentlichungssprache: Deutsch

(30) Angaben zur Priorität:  
2381/01 31. Dezember 2001 (31.12.2001) CH  
PCT/CH02/00429 5. August 2002 (05.08.2002) CH

(71) Anmelder (für alle Bestimmungsstaaten mit Ausnahme  
von US): AXOVAN LTD. [CH/CH]; Gewerbestrasse 16,  
CH-4123 Allschwil (CH).

(72) Erfinder; und

(75) Erfinder/Anmelder (nur für US): ISLER, Markus  
[CH/CH]; In den Dürrenmatten 2, CH-4123 Allschwil  
(CH). GILLER, Thomas [CH/CH]; Hauptstrasse 236,  
CH-4451 Wintersingen (CH). SCHWALM, Günter  
[DE/CH]; Schafmattweg 34, CH-4102 Binningen (CH).  
STEGE, Matthias [CH/CH]; Engweg 3, CH-8006  
Zürich (CH). HILPERT, Kurt [CH/CH]; Eichenstrasse  
5, CH-4114 Hofstetten (CH). VALDENAIRE, Oliver  
[FR/CH]; Ochsenegasse 18, CH-4123 Allschwil (CH).  
BREU, Volker [DE/DE]; Leonhard-Müller-Strasse 9A,  
79418 Schliengen (DE).

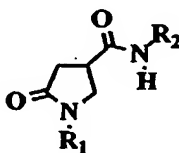
(74) Anwalt: BRAUN, André; Braun & Partner, Reussstrasse  
22, CH-4054 Basel (CH).

(81) Bestimmungsstaaten (national): AE, AG, AL, AM, AT  
(Gebrauchsmuster), AT, AU, AZ, BA, BB, BG, BR, BY,  
BZ, CA, CH, CN, CO, CR, CU, CZ (Gebrauchsmuster),  
CZ, DE (Gebrauchsmuster), DE, DK (Gebrauchsmuster),  
DK, DM, DZ, EC, EE (Gebrauchsmuster), EE, ES, FI (Ge-  
brauchsmuster), FI, GB, GD, GE, GH, GM, HR, HU, ID,

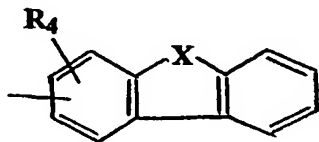
[Fortsetzung auf der nächsten Seite]

(54) Title: PYRROLIDONE CARBOXAMIDES

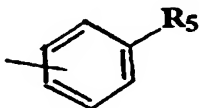
(54) Bezeichnung: PYRROLIDON-CARBOXAMIDE



(I)



(a)



(b)

(57) Abstract: Pyrrolidone carboxamides of formula (I) where R<sup>2</sup> = a group of formula (a) or (b), R<sup>5</sup> = phenyl, heteroalkyl, aryloxy, alkoxy, alkanoyl or -NR<sup>6</sup>R<sup>7</sup> and R<sup>1</sup>, X, R<sup>3</sup>, R<sup>4</sup>, R<sup>6</sup> and R<sup>7</sup> have the meanings given in the description and the claims, pharmaceutically applicable acid addition salts with basic compounds of formula (I), pharmaceutically applicable salts of acid compounds of formula (I) with bases, pharmaceutically applicable esters of hydroxy- or carboxy-group containing compounds of formula (I) and hydrates and solvates thereof, inhibit the interaction of neuropeptide Y (NPY) with one of the neuropeptide receptor subtypes (NPY-Y5) and are particularly suitable for the prevention and treatment of arthritis, diabetes and especially eating disorders and obesity. The above can be produced by known methods and converted into a galenic dosage form.

(57) Zusammenfassung: Pyrrolidoncarboxamide der Formel (I) worin R<sup>2</sup> einen Rest der Formel (a) oder (b) bedeutet, R<sup>5</sup> Phenyl, Heteroalkyl, Aryloxy, Alkoxy, Alkanoyl oder -NR<sup>6</sup>R<sup>7</sup> bedeutet und R<sup>1</sup>, X, R<sup>3</sup>, R<sup>4</sup>, R<sup>6</sup> und R<sup>7</sup> die in der Beschreibung und den Ansprüchen definierte Bedeutung besitzen, pharmazeutisch verwendbare Säureadditionssalze von basischen Verbindungen der Formel (I), pharmazeutisch verwendbare Salze von sauren Verbindungen der Formel (I) mit Basen, pharmazeutisch verwendbare Ester von Hydroxy- oder Carboxygruppen enthaltenden Verbindungen der Formel (I) sowie Hydrate oder Solvate davon, hemmen die Interaktion des Neuropeptids Y (NPY) mit einem der Neuropeptid-Rezeptor-Subtypen (NPY-Y5)

und eignen sich insbesondere für die Vorbeugung und Behandlung von Arthritis, Diabetes und speziell von Essstörungen und Obesitas. Sie können nach an sich bekannten Methoden hergestellt und in galenische Darreichungsformen gebracht werden.

WO 03/059905 A1